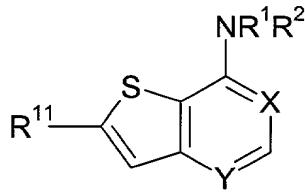


5 What is claimed:

1. A compound of the formula of formula 1



1

or a pharmaceutically acceptable salt, prodrug or hydrate thereof,

X is N, CH or C(CN);

Y is N, CH, CF, or N→O;

R¹ is H or C₁-C₆ alkyl;

R² is 5 to 13 membered heterocyclic, wherein said R² group is optionally substituted by 1 to 5 R⁵ substituents,

each R⁵ is independently selected from halo, cyano, trifluoromethoxy, trifluoromethyl,

-C(O)R⁸, -NR⁶C(O)R⁷, -C(O)NR⁶R⁷, -NR⁶R⁷, -OR⁹, -SO₂NR⁶R⁷, -SO₂R⁶, -NR⁶SO₂R⁷,
-NR⁶SO₂NR⁹R¹⁰, C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, -(CH₂)_qO(CH₂)_qNR⁶R⁷,
-(CH₂)_tO(CH₂)_qOR⁹, -(CH₂)_tOR⁹, -S(O)(C₁-C₆ alkyl), -(CH₂)_t(C₆-C₁₀ aryl), -(CH₂)_t(5 to 10
membered heterocyclic), -(CH₂)_tO(CH₂)_q(5 to 10 membered heterocyclic), -C(O)(CH₂)_t(5 to 10
membered heterocyclic), -(CH₂)_tNR⁷(CH₂)_qNR⁶R⁷, -(CH₂)_tNR⁷CH₂C(O)NR⁶R⁷,

-(CH₂)_tNR⁷(CH₂)_qNR⁹C(O)R⁸, -(CH₂)_tNR⁷(CH₂)_tO(CH₂)_qOR⁹, -(CH₂)_tNR⁷(CH₂)_qS(O)(C₁-C₆
alkyl), -(CH₂)_tNR⁷(CH₂)_tR⁶, -SO₂(CH₂)_t(C₆-C₁₀ aryl), and -SO₂(CH₂)_t(5 to 10 membered
heterocyclic), wherein j is an integer from 0 to 2, t is an integer from 0 to 6, q is an integer
from 2 to 6, the -(CH₂)_q- and -(CH₂)_t- moieties of the foregoing R⁵ groups optionally include a
carbon-carbon double or triple bond where t is an integer from 2 to 6, and the alkyl, aryl and

25 heterocyclic moieties of the foregoing R⁵ groups are optionally substituted by 1 to 3
substituents independently selected from halo, cyano, trifluoromethyl, -C(O)R⁸, -NR⁶C(O)R⁷,
-C(O)NR⁶R⁷, -(CH₂)_tNR⁶R⁷, -SO₂R⁶, -SO₂NR⁶R⁷, C₁-C₆ alkyl, -(CH₂)_t(5 to 10 membered
heterocyclic), -(CH₂)_tO(CH₂)_qOR⁹, and -(CH₂)_tOR⁹, wherein t is an integer from 0 to 6 and q is
an integer from 2 to 6;

30 each R⁶ and R⁷ is independently selected from H, C₁-C₆ alkyl, -(CH₂)_t(C₆-C₁₀ aryl),
-(CH₂)_t(5 to 10 membered heterocyclic), -(CH₂)_tO(CH₂)_qOR⁹, and -(CH₂)_tOR⁹, wherein t is an
integer from 0 to 6 and q is an integer from 2 to 6, and the alkyl, aryl and heterocyclic moieties
of the foregoing R⁶ and R⁷ groups are optionally substituted by 1 to 3 substituents
independently selected from halo, cyano, trifluoromethyl, -C(O)R⁸, -NR⁹C(O)R¹⁰,

35 -C(O)NR⁹R¹⁰, -NR⁹R¹⁰, C₁-C₆ alkyl, -(CH₂)_t(C₆-C₁₀ aryl), -(CH₂)_t(5 to 10 membered

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- 5 heterocyclic), $-(\text{CH}_2)_q\text{O}(\text{CH}_2)_q\text{OR}^9$, and $-(\text{CH}_2)_t\text{OR}^9$, wherein t is an integer from 0 to 6 and q is an integer from 2 to 6, with the proviso that where R^6 and R^7 are both attached to the same nitrogen, then R^6 and R^7 are not both bonded to the nitrogen directly through an oxygen;
each R^8 is independently selected from H, $\text{C}_1\text{-C}_{10}$ alkyl, $-(\text{CH}_2)_t(\text{C}_6\text{-C}_{10}$ aryl), and $-(\text{CH}_2)_t$ (5 to 10 membered heterocyclic), wherein t is an integer from 0 to 6;
- 10 each R^9 and R^{10} is independently selected from H and $\text{C}_1\text{-C}_6$ alkyl;
 R^{11} is $-\text{C}(\text{O})\text{NR}^{12}\text{R}^{13}$, $-(\text{CH}_2)_t\text{NR}^{12}\text{R}^{13}$, $-\text{NR}^{12}\text{C}(\text{=O})\text{R}^{13}$, $-\text{SO}_2\text{R}^{12}$, $-\text{SO}_2\text{NR}^{12}\text{R}^{13}$, $-\text{NR}^9\text{SO}_2\text{R}^{12}$, $-\text{NR}^9\text{SO}_2\text{NR}^{12}\text{R}^{13}$, $-\text{C}(\text{=N-OR}^{12})\text{R}^{13}$, $-\text{C}(\text{=NR}^{12})\text{R}^{13}$, $-\text{NR}^9\text{C}(\text{=NR}^{12})\text{R}^{13}$, $-\text{C}(\text{=NR}^{12})\text{NR}^9\text{R}^{13}$, $-\text{NR}^9\text{C}(\text{=NR}^{12})\text{NR}^9\text{R}^{13}$, $-\text{C}(\text{O})\text{R}^{12}$ and $-\text{CO}_2\text{R}^{12}$ and wherein each R^{12} and R^{13} is independently selected from H, $\text{C}_1\text{-C}_6$ alkyl, $-(\text{CH}_2)_t(\text{C}_3\text{-C}_{10}$ cycloalkyl), $-(\text{CH}_2)_t(\text{C}_6\text{-C}_{10}$ aryl), $-(\text{CH}_2)_t$ (5 to 10 membered heterocyclic), $-(\text{CH}_2)_t\text{O}(\text{CH}_2)_q\text{OR}^9$, $-(\text{CH}_2)_t\text{OR}^9$, wherein t is an integer from 0 to 6 and q is an integer from 2 to 6, and the alkyl, aryl and heterocyclic moieties of the foregoing R^{12} and R^{13} groups are optionally substituted by 1 to 3 substituents independently selected from R^5 or R^{12} and R^{13} taken together with the nitrogen to which they are attached to form a $\text{C}_5\text{-C}_9$ azabicyclic, aziridinyl, azetidinyl, pyrrolidinyl, piperidinyl, piperazinyl, morpholinyl, thiomorpholinyl, isoquinolinyl, or dihydroisoquinolinyl ring, wherein said $\text{C}_5\text{-C}_9$ azabicyclic, aziridinyl, azetidinyl, pyrrolidinyl, piperidinyl, piperazinyl, morpholinyl, thiomorpholinyl, isoquinolinyl, or dihydroisoquinolinyl ring are optionally substituted by 1 to 5 R^5 substituents, with the proviso R^{12} and R^{13} are not both bonded to the nitrogen directly through an oxygen.
- 25 2. The compound of claim 1, wherein R^{11} is $-\text{C}(\text{O})\text{NR}^{12}\text{R}^{13}$, $-\text{SO}_2\text{R}^{12}$, $-\text{SO}_2\text{NR}^{12}\text{R}^{13}$, $-\text{C}(\text{=N-OR}^{12})\text{R}^{13}$, and $-\text{C}(\text{=NR}^{12})\text{R}^{13}$ wherein each R^{12} and R^{13} is independently selected from H, $\text{C}_1\text{-C}_6$ alkyl, $-(\text{CH}_2)_t\text{OR}^9$, wherein t is an integer from 0 to 6, and the alkyl moiety of the foregoing R^{12} and R^{13} groups is optionally substituted by 1 to 3 substituents independently selected from halo, cyano, trifluoromethyl, $-\text{C}(\text{O})\text{R}^8$, $-\text{NR}^9\text{C}(\text{O})\text{R}^{10}$, $-\text{C}(\text{O})\text{NR}^9\text{R}^{10}$, $-\text{NR}^9\text{R}^{10}$, $\text{C}_1\text{-C}_6$ alkyl, $-(\text{CH}_2)_t(\text{C}_6\text{-C}_{10}$ aryl), $-(\text{CH}_2)_t$ (5 to 10 membered heterocyclic), $-(\text{CH}_2)_t\text{O}(\text{CH}_2)_q\text{OR}^9$, and $-(\text{CH}_2)_t\text{OR}^9$, wherein t is an integer from 0 to 6 and q is an integer from 2 to 6, or R^{12} and R^{13} may be taken together with the nitrogen to which they are attached to form a $\text{C}_5\text{-C}_9$ azabicyclic, aziridinyl, azetidinyl, pyrrolidinyl, piperidinyl, piperazinyl, or morpholinyl ring wherein said $\text{C}_5\text{-C}_9$ azabicyclic, aziridinyl, azetidinyl, pyrrolidinyl, piperidinyl, piperazinyl, or morpholinyl ring are optionally substituted by 1 to 5 R^5 substituents, with the proviso R^{12} and R^{13} are not both bonded to the nitrogen directly through an oxygen.
- 30 3. The compound of claim 2, wherein R^{11} is $-\text{C}(\text{O})\text{NR}^{12}\text{R}^{13}$, wherein each R^{12} and R^{13} is independently selected from H, $\text{C}_1\text{-C}_6$ alkyl, $-(\text{CH}_2)_t\text{OR}^9$, wherein t is an integer from 0 to 6, and the alkyl moiety of the foregoing R^{12} and R^{13} groups is optionally substituted by 1 to 3
- 35
- 40

5 substituents independently selected from halo, cyano, trifluoromethyl, -C(O)R⁸, -NR⁹C(O)R¹⁰,
-C(O)NR⁹R¹⁰, -NR⁹R¹⁰, C₁-C₆ alkyl, -(CH₂)_t(C₆-C₁₀ aryl), -(CH₂)_t(5 to 10 membered
10 heterocyclic), -(CH₂)_tO(CH₂)_qOR⁹, and -(CH₂)_tOR⁹, wherein t is an integer from 0 to 6 and q is
an integer from 2 to 6, or R¹² and R¹³ may be taken together with the nitrogen to which they
are attached to form a C₅-C₉ azabicyclic, aziridinyl, azetidinyl, pyrrolidinyl, piperidinyl,
15 piperazinyl, or morpholinyl ring wherein said C₅-C₉ azabicyclic, aziridinyl, azetidinyl,
pyrrolidinyl, piperidinyl, piperazinyl, or morpholinyl ring are optionally substituted by 1 to 5 R⁵
substituents, with the proviso R¹² and R¹³ are not both bonded to the nitrogen directly through
an oxygen.

4. The compound of claim 3, wherein R¹¹ is -C(O)NR¹²R¹³, wherein each R¹² and
15 R¹³ is independently selected from H, C₁-C₆ alkyl, wherein t is an integer from 0 to 6, and the
alkyl moiety of the foregoing R¹² and R¹³ groups is optionally substituted by 1 to 3 substituents
independently selected from halo, cyano, trifluoromethyl, -C(O)R⁸, -NR⁹C(O)R¹⁰,
-C(O)NR⁹R¹⁰, -NR⁹R¹⁰, C₁-C₆ alkyl, -(CH₂)_t(C₆-C₁₀ aryl), -(CH₂)_t(5 to 10 membered
20 heterocyclic), -(CH₂)_tO(CH₂)_qOR⁹, and -(CH₂)_tOR⁹, wherein t is an integer from 0 to 6 and q is
an integer from 2 to 6, or R¹² and R¹³ may be taken together with the nitrogen to which they
are attached to form a C₅-C₉ azabicyclic, aziridinyl, azetidinyl, pyrrolidinyl, piperidinyl,
piperazinyl, or morpholinyl ring wherein said C₅-C₉ azabicyclic, aziridinyl, azetidinyl,
25 pyrrolidinyl, piperidinyl, piperazinyl, or morpholinyl ring are optionally substituted by 1 to 5 R⁵
substituents, with the proviso R¹² and R¹³ are not both bonded to the nitrogen directly through
an oxygen.

5. The compound of claim 4, wherein R¹¹ is -C(O)NR¹²R¹³ wherein R¹² and R¹³
taken together with the nitrogen to which they are attached form a C₅-C₉ azabicyclic, aziridinyl,
azetidinyl, pyrrolidinyl, piperidinyl, piperazinyl, or morpholinyl ring wherein said C₅-C₉
30 azabicyclic, aziridinyl, azetidinyl, pyrrolidinyl, piperidinyl, piperazinyl, or morpholinyl ring are
optionally substituted by 1 to 5 R⁵ substituents.

6. The compound of claim 5, wherein R¹¹ is -C(O)NR¹²R¹³ wherein R¹² and R¹³
taken together with the nitrogen to which they are attached form a C₅-C₉ azabicyclic,
aziridinyl, azetidinyl, or pyrrolidinyl ring wherein said C₅-C₉ azabicyclic, aziridinyl, azetidinyl, or
35 pyrrolidinyl ring are optionally substituted by 1 to 5 R⁵ substituents.

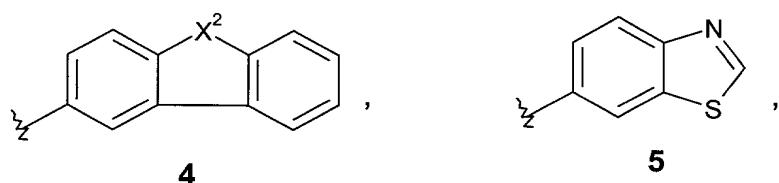
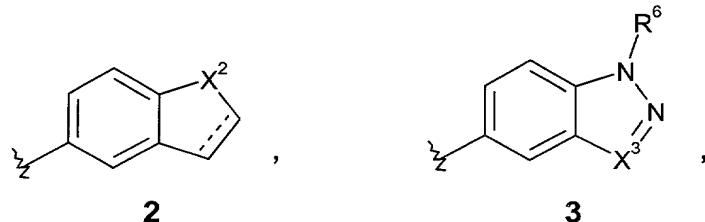
7. The compound of claim 6, wherein R¹¹ is -C(O)NR¹²R¹³ wherein R¹² and R¹³
taken together with the nitrogen to which they are attached form a C₅-C₉ azabicyclic,
azetidinyl or pyrrolidinyl ring wherein said C₅-C₉ azabicyclic, azetidinyl or pyrrolidinyl ring is
optionally substituted by 1 to 5 R⁵ substituents.

5 8. The compound of claim 7, wherein R¹¹ is -C(O)NR¹²R¹³ wherein R¹² and R¹³ taken together with the nitrogen to which they are attached form a C₅-C₉ azabicyclic ring, wherein said C₅-C₉ azabicyclic ring is optionally substituted by 1 to 5 R⁵ substituents.

10 9. The compound of claim 7, wherein R¹¹ is -C(O)NR¹²R¹³ wherein R¹² and R¹³ taken together with the nitrogen to which they are attached to form an azetidinyl ring, wherein said azetidinyl ring is optionally substituted by 1 to 5 R⁵ substituents.

10 10. The compound of claim 7, wherein R¹¹ is -C(O)NR¹²R¹³ wherein R¹² and R¹³ taken together with the nitrogen to which they are attached to form a pyrrolidinyl ring, wherein said pyrrolidinyl ring is optionally substituted by 1 to 5 R⁵ substituents.

11. The compound of claim 1, wherein R² is a group of the formula



or



15 wherein X² is -S-, -N(R⁶)- or O, and X³, X⁴, X⁵, X⁶, and Z is N or CH, the dashed line in formula 2 represents an optional double bond, and the above R² groups of formulas 2, 4 and 6 are optionally substituted by 1 to 5 R⁵ substituents and the R² groups of formulas 3 and 5 are optionally substituted by 1 to 3 R⁵ substituents.

20 12. The compound of claim 11, wherein said R² group is a group of formula 2 or 6, wherein said formulas 2 and 6 are optionally substituted by 1 to 5 R⁵ substituents.

13. The compound of claim 1, wherein said compound is selected from the group consisting of:

- 5 7-(2-Methyl-1H-indol-5-ylamino)-thieno[3,2-b]pyridine-2-carboxylic acid methyl-
pyridin-3-ylmethyl-amide;
 Azetidin-1-yl-[7-(2-methyl-1H-indol-5-ylamino)-thieno[3,2-b]pyridin-2-yl]-methanone;
 [7-(2-Methyl-1H-indol-5-ylamino)-thieno[3,2-b]pyridin-2-yl]-pyrrolidin-1-yl-methanone;
 7-(2-Methyl-1H-indol-5-ylamino)-thieno[3,2-b]pyridine-2-carboxylic acid cyclohexyl-
10 methyl-amide;
 (2-Methoxymethyl-pyrrolidin-1-yl)-[7-(2-methyl-1H-indol-5-ylamino)-thieno[3,2-
b]pyridin-2-yl]-methanone;
 7-(2-Methyl-1H-indol-5-ylamino)-thieno[3,2-b]pyridine-2-carboxylic acid methyl-(2-
morpholin-4-yl-ethyl)-amide;
15 N-{1-[7-(2-Methyl-1H-indol-5-ylamino)-thieno[3,2-b]pyridine-2-carbonyl]-pyrrolidin-3-
yl}-acetamide;
 N-Ethyl-N-{1-[7-(2-methyl-1H-indol-5-ylamino)-thieno[3,2-b]pyridine-2-carbonyl]-
pyrrolidin-3-yl}-acetamide;
 (3-Methylamino-pyrrolidin-1-yl)-[7-(2-methyl-1H-indol-5-ylamino)-thieno[3,2-b]pyridin-
20 2-yl]-methanone;
 (3-Dimethylamino-pyrrolidin-1-yl)-[7-(2-methyl-1H-indol-5-ylamino)-thieno[3,2-
b]pyridin-2-yl]-methanone;
 (6-Amino-3-aza-bicyclo[3.1.0]hex-3-yl)-[7-(2-methyl-1H-indol-5-ylamino)-thieno[3,2-
b]pyridin-2-yl]-methanone;
25 (3-Dimethylamino-pyrrolidin-1-yl)-[7-(2-methyl-1H-indol-5-ylamino)-thieno[3,2-
b]pyridin-2-yl]-methanone;
 (2-Methoxymethyl-pyrrolidin-1-yl)-[7-(2-methyl-1H-indol-5-ylamino)-thieno[3,2-
b]pyridin-2-yl]-methanone;
 (3-Hydroxy-pyrrolidin-1-yl)-[7-(2-methyl-1H-indol-5-ylamino)-thieno[3,2-b]pyridin-2-yl]-
30 methanone;
 (2-Hydroxymethyl-pyrrolidin-1-yl)-[7-(2-methyl-1H-indol-5-ylamino)-thieno[3,2-
b]pyridin-2-yl]-methanone;
 (3-Methoxy-pyrrolidin-1-yl)-[7-(2-methyl-1H-indol-5-ylamino)-thieno[3,2-b]pyridin-2-yl]-
methanone;
35 (3-Ethoxy-azetidin-1-yl)-[7-(2-methyl-1H-indol-5-ylamino)-thieno[3,2-b]pyridin-2-yl]-
methanone;
 N-Methyl-N-{1-[7-(2-methyl-1H-indol-5-ylamino)-thieno[3,2-b]pyridine-2-carbonyl]-
pyrrolidin-3-yl}-acetamide;

5 cyclobutanecarboxylic acid {1-[7-(2-methyl-1H-indol-5-ylamino)-thieno[3,2-b]pyridine-
2-carbonyl]-pyrrolidin-3-yl}-amide; pharmaceutically acceptable salts of said compounds;
solvates of said compounds; and prodrugs of said compounds.

14. The compound of claim 13, wherein said compound is selected from the group
consisting of

10 (2S)-(2-Methoxymethyl-pyrrolidin-1-yl)-[7-(2-methyl-1H-indol-5-ylamino)-thieno[3,2-
b]pyridin-2-yl]-methanone;

(+/-)-N-Ethyl-N-{1-[7-(2-methyl-1H-indol-5-ylamino)-thieno[3,2-b]pyridine-2-carbonyl]-
pyrrolidin-3-yl}-acetamide;

(3S)-(3-Dimethylamino-pyrrolidin-1-yl)-[7-(2-methyl-1H-indol-5-ylamino)-thieno[3,2-
b]pyridin-2-yl]-methanone;

(+/-)-N-Methyl-N-{1-[7-(2-methyl-1H-indol-5-ylamino)-thieno[3,2-b]pyridine-2-
carbonyl]-pyrrolidin-3-yl}-acetamide;

(2R)-(2-Methoxymethyl-pyrrolidin-1-yl)-[7-(2-methyl-1H-indol-5-ylamino)-thieno[3,2-
b]pyridin-2-yl]-methanone;

20 (3S)-(3-Hydroxy-pyrrolidin-1-yl)-[7-(2-methyl-1H-indol-5-ylamino)-thieno[3,2-b]pyridin-
2-yl]-methanone;

(3R)-(3-Hydroxy-pyrrolidin-1-yl)-[7-(2-methyl-1H-indol-5-ylamino)-thieno[3,2-b]pyridin-
2-yl]-methanone;

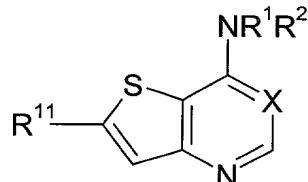
25 (+/-)-Cyclobutanecarboxylic acid {1-[7-(2-methyl-1H-indol-5-ylamino)-thieno[3,2-
b]pyridine-2-carbonyl]-pyrrolidin-3-yl}-amide;

6-Amino-3-aza-bicyclo[3.1.0]hex-3-yl)-[7-(2-methyl-1H-indol-5-ylamino)-thieno[3,2-
b]pyridin-2-yl]-methanone;

(3S)-(3-Methoxy-pyrrolidin-1-yl)-[7-(2-methyl-1H-indol-5-ylamino)-thieno[3,2-b]pyridin-
2-yl]-methanone; pharmaceutically acceptable salts of said compounds; solvates of said

30 compounds; and prodrugs of said compounds.

15. A compound of the formula 1



1

or a pharmaceutically acceptable salt, prodrug or hydrate thereof,

X is N, CH or C(CN);

35 R¹ is H or C₁-C₆ alkyl;

- 5 R² is 5 to 13 membered heterocyclic, wherein said R² group is optionally substituted by 1 to 5 R⁵ substituents,
each R⁵ is independently selected from halo, cyano, trifluoromethoxy, trifluoromethyl, -C(O)R⁸, -NR⁶C(O)R⁷, -C(O)NR⁶R⁷, -NR⁶R⁷, -OR⁹, -SO₂NR⁶R⁷, -SO₂R⁶, -NR⁶SO₂R⁷, -NR⁶SO₂NR⁹R¹⁰, C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, -(CH₂)_qO(CH₂)_qNR⁶R⁷,
10 -(CH₂)_tO(CH₂)_qOR⁹, -(CH₂)_tOR⁹, -S(O)(C₁-C₆ alkyl), -(CH₂)_t(C₆-C₁₀ aryl), -(CH₂)_t(5 to 10
membered heterocyclic), -(CH₂)_tO(CH₂)_q(5 to 10 membered heterocyclic), -C(O)(CH₂)_t(5 to 10
membered heterocyclic), -(CH₂)_tNR⁷(CH₂)_qNR⁶R⁷, -(CH₂)_tNR⁷CH₂C(O)NR⁶R⁷,
-NR⁷(CH₂)_qNR⁹C(O)R⁸, -(CH₂)_tNR⁷(CH₂)_tO(CH₂)_qOR⁹, -(CH₂)_tNR⁷(CH₂)_qS(O)(C₁-C₆
alkyl), -(CH₂)_tNR⁷(CH₂)_tR⁶, -SO₂(CH₂)_t(C₆-C₁₀ aryl), and -SO₂(CH₂)_t(5 to 10 membered
15 heterocyclic), wherein j is an integer from 0 to 2, t is an integer from 0 to 6, q is an integer from 2 to 6, the -(CH₂)_q- and -(CH₂)_t- moieties of the foregoing R⁵ groups optionally include a carbon-carbon double or triple bond where t is an integer from 2 to 6, and the alkyl, aryl and heterocyclic moieties of the foregoing R⁵ groups are optionally substituted by 1 to 3 substituents independently selected from halo, cyano, trifluoromethyl, -C(O)R⁸, -NR⁶C(O)R⁷,
20 -C(O)NR⁶R⁷, -(CH₂)_tNR⁶R⁷, -SO₂R⁶, -SO₂NR⁶R⁷, C₁-C₆ alkyl, -(CH₂)_t(5 to 10 membered
heterocyclic), -(CH₂)_tO(CH₂)_qOR⁹, and -(CH₂)_tOR⁹, wherein t is an integer from 0 to 6 and q is an integer from 2 to 6;
each R⁶ and R⁷ is independently selected from H, C₁-C₆ alkyl, -(CH₂)_t(C₆-C₁₀ aryl),
25 -(CH₂)_t(5 to 10 membered heterocyclic), -(CH₂)_tO(CH₂)_qOR⁹, and -(CH₂)_tOR⁹, wherein t is an integer from 0 to 6 and q is an integer from 2 to 6, and the alkyl, aryl and heterocyclic moieties of the foregoing R⁶ and R⁷ groups are optionally substituted by 1 to 3 substituents independently selected from halo, cyano, trifluoromethyl, -C(O)R⁸, -NR⁹C(O)R¹⁰,
-C(O)NR⁹R¹⁰, -NR⁹R¹⁰, C₁-C₆ alkyl, -(CH₂)_t(C₆-C₁₀ aryl), -(CH₂)_t(5 to 10 membered
heterocyclic), -(CH₂)_tO(CH₂)_qOR⁹, and -(CH₂)_tOR⁹, wherein t is an integer from 0 to 6 and q is an integer from 2 to 6;
30 each R⁸ and R⁹ is independently selected from H, C₁-C₁₀ alkyl, -(CH₂)_t(C₆-C₁₀ aryl), and -(CH₂)_t(5 to 10 membered heterocyclic), wherein t is an integer from 0 to 6; each R¹⁰ and R¹¹ is independently selected from H and C₁-C₆ alkyl;
35 R¹¹ is -C(O)NR¹²R¹³, -(CH₂)_tNR¹²R¹³, -NR¹²C(=O)R¹³, -SO₂R¹², -SO₂NR¹²R¹³,
-NR⁹SO₂R¹², -NR⁹SO₂NR¹²R¹³, -C(=N-OR¹²)R¹³, -C(=NR¹²)R¹³, -NR⁹C(=NR¹²)R¹³,
-C(=NR¹²)NR⁹R¹³, -NR⁹C(=NR¹²)NR⁹R¹³, -C(O)R¹² and -CO₂R¹² and wherein each R¹² and R¹³ is independently selected from H, C₁-C₆ alkyl, -(CH₂)_t(C₃-C₁₀ cycloalkyl), -(CH₂)_t(C₆-C₁₀ aryl),
40 -(CH₂)_t(5 to 10 membered heterocyclic), -(CH₂)_tO(CH₂)_qOR⁹, -(CH₂)_tOR⁹, wherein t is an integer from 0 to 6 and q is an integer from 2 to 6, and the alkyl, aryl and heterocyclic moieties

5 of the foregoing R¹² and R¹³ groups are optionally substituted by 1 to 3 substituents
independently selected from R⁵ or R¹² and R¹³ taken together with the nitrogen to which they
are attached to form a C₅-C₉ azabicyclic, aziridinyl, azetidinyl, pyrrolidinyl, piperidinyl,
piperazinyl, morpholinyl, thiomorpholinyl, isoquinolinyl, or dihydroisoquinolinyl ring, wherein
said C₅-C₉ azabicyclic, aziridinyl, azetidinyl, pyrrolidinyl, piperidinyl, piperazinyl, morpholinyl,
10 thiomorpholinyl, isoquinolinyl, or dihydroisoquinolinyl ring are optionally substituted by 1 to 5
R⁵ substituents, with the proviso R¹² and R¹³ are not both bonded to the nitrogen directly
through an oxygen.

16. The compound of claim 15, wherein R¹¹ is -C(O)NR¹²R¹³, -SO₂R¹²,
-SO₂NR¹²R¹³, -C(=N-OR¹²)R¹³, and -C(=NR¹²)R¹³ wherein each R¹² and R¹³ is independently
15 selected from H, C₁-C₆ alkyl, -(CH₂)_tOR⁹, wherein t is an integer from 0 to 6, and the alkyl
moiety of the foregoing R¹² and R¹³ groups is optionally substituted by 1 to 3 substituents
independently selected from halo, cyano, trifluoromethyl, -C(O)R⁸, -NR⁹C(O)R¹⁰,
-C(O)NR⁹R¹⁰, -NR⁹R¹⁰, C₁-C₆ alkyl, -(CH₂)_t(C₆-C₁₀ aryl), -(CH₂)_t(5 to 10 membered
20 heterocyclic), -(CH₂)_tO(CH₂)_qOR⁹, and -(CH₂)_tOR⁹, wherein t is an integer from 0 to 6 and q is
an integer from 2 to 6, or R¹² and R¹³ may be taken together with the nitrogen to which they
25 are attached to form a C₅-C₉ azabicyclic, aziridinyl, azetidinyl, pyrrolidinyl, piperidinyl,
piperazinyl, or morpholinyl ring wherein said C₅-C₉ azabicyclic, aziridinyl, azetidinyl,
pyrrolidinyl, piperidinyl, piperazinyl, or morpholinyl ring are optionally substituted by 1 to 5 R⁵
substituents, with the proviso R¹² and R¹³ are not both bonded to the nitrogen directly through
an oxygen.

17. The compound of claim 16, wherein R¹¹ is -C(O)NR¹²R¹³, wherein each R¹²
and R¹³ is independently selected from H, C₁-C₆ alkyl, -(CH₂)_tOR⁹, wherein t is an integer from
0 to 6, and the alkyl moiety of the foregoing R¹² and R¹³ groups is optionally substituted by 1 to
3 substituents independently selected from halo, cyano, trifluoromethyl, -C(O)R⁸,
30 -NR⁹C(O)R¹⁰, -C(O)NR⁹R¹⁰, -NR⁹R¹⁰, C₁-C₆ alkyl, -(CH₂)_t(C₆-C₁₀ aryl), -(CH₂)_t(5 to 10
membered heterocyclic), -(CH₂)_tO(CH₂)_qOR⁹, and -(CH₂)_tOR⁹, wherein t is an integer from 0 to
6 and q is an integer from 2 to 6, or R¹² and R¹³ may be taken together with the nitrogen to
which they are attached to form a C₅-C₉ azabicyclic, aziridinyl, azetidinyl, pyrrolidinyl,
piperidinyl, piperazinyl, or morpholinyl ring wherein said C₅-C₉ azabicyclic, aziridinyl,
35 azetidinyl, pyrrolidinyl, piperidinyl, piperazinyl, or morpholinyl ring are optionally substituted by
1 to 5 R⁵ substituents, with the proviso R¹² and R¹³ are not both bonded to the nitrogen directly
through an oxygen.

18. The compound of claim 17, wherein R¹¹ is -C(O)NR¹²R¹³, wherein each R¹²
and R¹³ is independently selected from H, C₁-C₆ alkyl, wherein t is an integer from 0 to 6, and
40 the alkyl moiety of the foregoing R¹² and R¹³ groups is optionally substituted by 1 to 3

5 substituents independently selected from halo, cyano, trifluoromethyl, -C(O)R⁸, -NR⁹C(O)R¹⁰,
-C(O)NR⁹R¹⁰, -NR⁹R¹⁰, C₁-C₆ alkyl, -(CH₂)(C₆-C₁₀ aryl), -(CH₂)_t(5 to 10 membered
heterocyclic), -(CH₂)_tO(CH₂)_qOR⁹, and -(CH₂)_tOR⁹, wherein t is an integer from 0 to 6 and q is
an integer from 2 to 6, or R¹² and R¹³ may be taken together with the nitrogen to which they
are attached to form a C₅-C₉ azabicyclic, aziridinyl, azetidinyl, pyrrolidinyl, piperidinyl,
10 piperazinyl, or morpholinyl ring wherein said C₅-C₉ azabicyclic, aziridinyl, azetidinyl,
pyrrolidinyl, piperidinyl, piperazinyl, or morpholinyl ring are optionally substituted by 1 to 5 R⁵
substituents, with the proviso R¹² and R¹³ are not both bonded to the nitrogen directly through
an oxygen.

19. The compound of claim 18, wherein R¹¹ is -C(O)NR¹²R¹³ wherein R¹² and R¹³

15 taken together with the nitrogen to which they are attached form a C₅-C₉ azabicyclic, aziridinyl,
azetidinyl, pyrrolidinyl, piperidinyl, piperazinyl, or morpholinyl ring wherein said C₅-C₉
azabicyclic, aziridinyl, azetidinyl, pyrrolidinyl, piperidinyl, piperazinyl, or morpholinyl ring are
optionally substituted by 1 to 5 R⁵ substituents.

20. The compound of claim 19, wherein R¹¹ is -C(O)NR¹²R¹³ wherein R¹² and R¹³

20 taken together with the nitrogen to which they are attached form a C₅-C₉ azabicyclic,
aziridinyl, azetidinyl, or pyrrolidinyl ring, wherein said C₅-C₉ azabicyclic, aziridinyl, azetidinyl,
or pyrrolidinyl ring is optionally substituted by 1 to 5 R⁵ substituents.

21. The compound of claim 20, wherein R¹¹ is -C(O)NR¹²R¹³ wherein R¹² and R¹³

25 taken together with the nitrogen to which they are attached form a C₅-C₉ azabicyclic, azetidinyl
or pyrrolidinyl ring, wherein said a C₅-C₉ azabicyclic, azetidinyl or pyrrolidinyl ring is optionally
substituted by 1 to 5 R⁵ substituents.

22. The compound of claim 21, wherein R¹¹ is -C(O)NR¹²R¹³ wherein R¹² and R¹³

taken together with the nitrogen to which they are attached form a C₅-C₉ azabicyclic ring,
wherein said C₅-C₉ azabicyclic ring is optionally substituted by 1 to 5 R⁵ substituents.

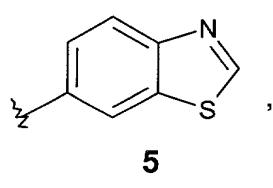
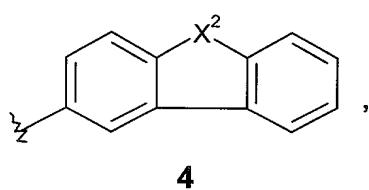
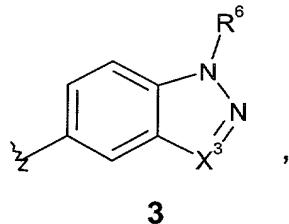
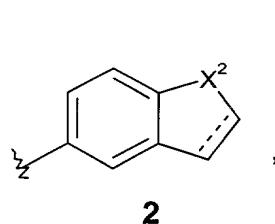
30 23. The compound of claim 21, wherein R¹¹ is -C(O)NR¹²R¹³ wherein R¹² and R¹³

taken together with the nitrogen to which they are attached form an azetidinyl ring, wherein
said azetidinyl ring is optionally substituted by 1 to 5 R⁵ substituents.

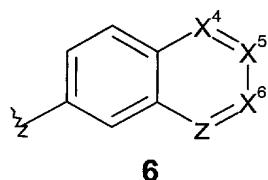
24. The compound of claim 21, wherein R¹¹ is -C(O)NR¹²R¹³ wherein R¹² and R¹³

35 taken together with the nitrogen to which they are attached form a pyrrolidinyl ring, wherein
said pyrrolidinyl ring is optionally substituted by 1 to 5 R⁵ substituents.

5 25. The compound of claim 21, wherein R² is a group of the formula



or



wherein X² is -S-, -N(R⁶)- or O, and X³, X⁴, X⁵, X⁶, and Z is N or CH, the dashed line in formula 2 represents an optional double bond, and the above R² groups of formulas 2, 4 and 6 are optionally substituted by 1 to 5 R⁵ substituents and the R² groups of formulas 3 and 5 are optionally substituted by 1 to 3 R⁵ substituents.

10 26. The compound of claim 24, wherein said R² group is a group of formula 2 or 6, wherein said formulas 2 and 6 are optionally substituted by 1 to 5 R⁵ substituents.

15 27. The compound of claim 15, wherein said compound is selected from the group consisting of:

7-(2-Methyl-1H-indol-5-ylamino)-thieno[3,2-b]pyridine-2-carboxylic acid methyl-pyridin-3-ylmethyl-amide;

Azetidin-1-yl-[7-(2-methyl-1H-indol-5-ylamino)-thieno[3,2-b]pyridin-2-yl]-methanone;

[7-(2-Methyl-1H-indol-5-ylamino)-thieno[3,2-b]pyridin-2-yl]-pyrrolidin-1-yl-methanone;

20 7-(2-Methyl-1H-indol-5-ylamino)-thieno[3,2-b]pyridine-2-carboxylic acid cyclohexyl-methyl-amide;

(2-Methoxymethyl-pyrrolidin-1-yl)-[7-(2-methyl-1H-indol-5-ylamino)-thieno[3,2-b]pyridin-2-yl]-methanone;

- 5 7-(2-Methyl-1H-indol-5-ylamino)-thieno[3,2-b]pyridine-2-carboxylic acid methyl-(2-morpholin-4-yl-ethyl)-amide;
N-{1-[7-(2-Methyl-1H-indol-5-ylamino)-thieno[3,2-b]pyridine-2-carbonyl]-pyrrolidin-3-yl}-acetamide;
N-Ethyl-N-{1-[7-(2-methyl-1H-indol-5-ylamino)-thieno[3,2-b]pyridine-2-carbonyl]-
10 pyrrolidin-3-yl}-acetamide;
(3-Methylamino-pyrrolidin-1-yl)-[7-(2-methyl-1H-indol-5-ylamino)-thieno[3,2-b]pyridin-
2-yl]-methanone;
(3-Dimethylamino-pyrrolidin-1-yl)-[7-(2-methyl-1H-indol-5-ylamino)-thieno[3,2-
b]pyridin-2-yl]-methanone;
- 15 (6-Amino-3-aza-bicyclo[3.1.0]hex-3-yl)-[7-(2-methyl-1H-indol-5-ylamino)-thieno[3,2-
b]pyridin-2-yl]-methanone;
(3-Dimethylamino-pyrrolidin-1-yl)-[7-(2-methyl-1H-indol-5-ylamino)-thieno[3,2-
b]pyridin-2-yl]-methanone;
(2-Methoxymethyl-pyrrolidin-1-yl)-[7-(2-methyl-1H-indol-5-ylamino)-thieno[3,2-
b]pyridin-2-yl]-methanone;
- 20 (3-Hydroxy-pyrrolidin-1-yl)-[7-(2-methyl-1H-indol-5-ylamino)-thieno[3,2-b]pyridin-2-yl]-
methanone;
(2-Hydroxymethyl-pyrrolidin-1-yl)-[7-(2-methyl-1H-indol-5-ylamino)-thieno[3,2-
b]pyridin-2-yl]-methanone;
- 25 (3-Methoxy-pyrrolidin-1-yl)-[7-(2-methyl-1H-indol-5-ylamino)-thieno[3,2-b]pyridin-2-yl]-
methanone;
(3-Ethoxy-azetidin-1-yl)-[7-(2-methyl-1H-indol-5-ylamino)-thieno[3,2-b]pyridin-2-yl]-
methanone;
N-Methyl-N-{1-[7-(2-methyl-1H-indol-5-ylamino)-thieno[3,2-b]pyridine-2-carbonyl]-
30 pyrrolidin-3-yl}-acetamide;
cyclobutanecarboxylic acid {1-[7-(2-methyl-1H-indol-5-ylamino)-thieno[3,2-b]pyridine-
2-carbonyl]-pyrrolidin-3-yl}-amide; pharmaceutically acceptable salts of said compounds;
solvates of said compounds; and prodrugs of said compounds.
28. The compound of claim 27, wherein said compound is selected from the group
35 consisting of
(2S)-(2-Methoxymethyl-pyrrolidin-1-yl)-[7-(2-methyl-1H-indol-5-ylamino)-thieno[3,2-
b]pyridin-2-yl]-methanone;
(+/-)-N-Ethyl-N-{1-[7-(2-methyl-1H-indol-5-ylamino)-thieno[3,2-b]pyridine-2-carbonyl]-
pyrrolidin-3-yl}-acetamide;

5 (3S)-(3-Dimethylamino-pyrrolidin-1-yl)-[7-(2-methyl-1H-indol-5-ylamino)-thieno[3,2-b]pyridin-2-yl]-methanone;

(+/-)-N-Methyl-N-{1-[7-(2-methyl-1H-indol-5-ylamino)-thieno[3,2-b]pyridine-2-carbonyl]-pyrrolidin-3-yl}-acetamide;

(2R)-(2-Methoxymethyl-pyrrolidin-1-yl)-[7-(2-methyl-1H-indol-5-ylamino)-thieno[3,2-

10 b]pyridin-2-yl]-methanone;

(3S)-(3-Hydroxy-pyrrolidin-1-yl)-[7-(2-methyl-1H-indol-5-ylamino)-thieno[3,2-b]pyridin-2-yl]-methanone;

(3R)-(3-Hydroxy-pyrrolidin-1-yl)-[7-(2-methyl-1H-indol-5-ylamino)-thieno[3,2-b]pyridin-2-yl]-methanone;

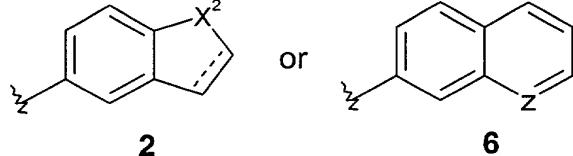
(+/-)-Cyclobutanecarboxylic acid {1-[7-(2-methyl-1H-indol-5-ylamino)-thieno[3,2-b]pyridine-2-carbonyl]-pyrrolidin-3-yl}-amide;

6-Amino-3-aza-bicyclo[3.1.0]hex-3-yl)-[7-(2-methyl-1H-indol-5-ylamino)-thieno[3,2-b]pyridin-2-yl]-methanone;

(3S)-(3-Methoxy-pyrrolidin-1-yl)-[7-(2-methyl-1H-indol-5-ylamino)-thieno[3,2-b]pyridin-2-yl]-methanone; pharmaceutically acceptable salts of said compounds; solvates of said

compounds; and prodrugs of said compounds.

29. A compound of claim 1, wherein X is CH; Y is N; R¹ is H; R² is



X^2 is $-N(R^6)-$, the dashed line in formula 2 represents an optional double bond, Z is

25 CH or N and the above R² group of formulas 2 and 6 are optionally substituted by 1 to 5 R⁵.

30. The compound of claim 29, wherein R¹¹ is -C(O)NR¹²R¹³, -SO₂R¹², -SO₂NR¹²R¹³, -C(=N-OR¹²)R¹³, and -C(=NR¹²)R¹³ wherein each R¹² and R¹³ is independently selected from H, C₁-C₆ alkyl, -(CH₂)_tOR⁹, wherein t is an integer from 0 to 6, and the alkyl moiety of the foregoing R¹² and R¹³ groups is optionally substituted by 1 to 3 substituents

30 independently selected from halo, cyano, trifluoromethyl, -C(O)R⁸, -NR⁹C(O)R¹⁰, -C(O)NR⁹R¹⁰, -NR⁹R¹⁰, C₁-C₆ alkyl, -(CH₂)_t(C₆-C₁₀ aryl), -(CH₂)_t(5 to 10 membered heterocyclic), -(CH₂)_tO(CH₂)_qOR⁹, and -(CH₂)_tOR⁹, wherein t is an integer from 0 to 6 and q is an integer from 2 to 6, or R¹² and R¹³ may be taken together with the nitrogen to which they are attached to form a C₅-C₉ azabicyclic, aziridinyl, azetidinyl, pyrrolidinyl, piperidinyl, piperazinyl, or morpholinyl ring wherein said C₅-C₉ azabicyclic, aziridinyl, azetidinyl, pyrrolidinyl, piperidinyl, piperazinyl, or morpholinyl ring are optionally substituted by 1 to 5 R⁵

35

5 substituents, with the proviso R¹² and R¹³ are not both bonded to the nitrogen directly through an oxygen.

31. The compound of claim 30, wherein R¹¹ is -C(O)NR¹²R¹³, wherein each R¹² and R¹³ is independently selected from H, C₁-C₆ alkyl, -(CH₂)_tOR⁹, wherein t is an integer from 0 to 6, and the alkyl moiety of the foregoing R¹² and R¹³ groups is optionally substituted by 1 to 10 substituents independently selected from halo, cyano, trifluoromethyl, -C(O)R⁸, -NR⁹C(O)R¹⁰, -C(O)NR⁹R¹⁰, -NR⁹R¹⁰, C₁-C₆ alkyl, -(CH₂)_t(C₆-C₁₀ aryl), -(CH₂)_t(5 to 10 membered heterocyclic), -(CH₂)_tO(CH₂)_qOR⁹, and -(CH₂)_tOR⁹, wherein t is an integer from 0 to 6 and q is an integer from 2 to 6, or R¹² and R¹³ may be taken together with the nitrogen to which they are attached to form a C₅-C₉ azabicyclic, aziridinyl, azetidinyl, pyrrolidinyl, piperidinyl, piperazinyl, or morpholinyl ring wherein said C₅-C₉ azabicyclic, aziridinyl, azetidinyl, pyrrolidinyl, piperidinyl, piperazinyl, or morpholinyl ring are optionally substituted by 1 to 5 R⁵ substituents, with the proviso R¹² and R¹³ are not both bonded to the nitrogen directly through an oxygen.

32. The compound of claim 31, wherein R¹¹ is -C(O)NR¹²R¹³, wherein each R¹² and R¹³ is independently selected from H, C₁-C₆ alkyl, wherein t is an integer from 0 to 6, and the alkyl moiety of the foregoing R¹² and R¹³ groups is optionally substituted by 1 to 3 substituents independently selected from halo, cyano, trifluoromethyl, -C(O)R⁸, -NR⁹C(O)R¹⁰, -C(O)NR⁹R¹⁰, -NR⁹R¹⁰, C₁-C₆ alkyl, -(CH₂)_t(C₆-C₁₀ aryl), -(CH₂)_t(5 to 10 membered heterocyclic), -(CH₂)_tO(CH₂)_qOR⁹, and -(CH₂)_tOR⁹, wherein t is an integer from 0 to 6 and q is an integer from 2 to 6, or R¹² and R¹³ may be taken together with the nitrogen to which they are attached to form a C₅-C₉ azabicyclic, aziridinyl, azetidinyl, pyrrolidinyl, piperidinyl, piperazinyl, or morpholinyl ring wherein said C₅-C₉ azabicyclic, aziridinyl, azetidinyl, pyrrolidinyl, piperidinyl, piperazinyl, or morpholinyl ring are optionally substituted by 1 to 5 R⁵ substituents, with the proviso R¹² and R¹³ are not both bonded to the nitrogen directly through an oxygen.

33. The compound of claim 32, wherein R¹¹ is -C(O)NR¹²R¹³ wherein R¹² and R¹³ taken together with the nitrogen to which they are attached form a C₅-C₉ azabicyclic, aziridinyl, azetidinyl, pyrrolidinyl, piperidinyl, piperazinyl, or morpholinyl ring wherein said C₅-C₉ azabicyclic, aziridinyl, azetidinyl, pyrrolidinyl, piperidinyl, piperazinyl, or morpholinyl ring are optionally substituted by 1 to 5 R⁵ substituents.

34. The compound of claim 33, wherein R¹¹ is -C(O)NR¹²R¹³ wherein R¹² and R¹³ taken together with the nitrogen to which they are attached form a C₅-C₉ azabicyclic, aziridinyl, azetidinyl, or pyrrolidinyl ring wherein said C₅-C₉ azabicyclic, aziridinyl, azetidinyl, or pyrrolidinyl ring is optionally substituted by 1 to 5 R⁵ substituents.

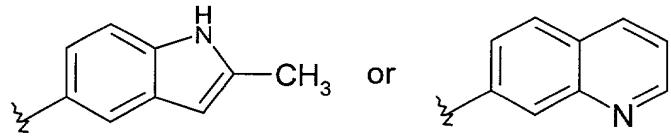
5 35. The compound of claim 34, wherein R¹¹ is -C(O)NR¹²R¹³ wherein R¹² and R¹³ taken together with the nitrogen to which they are attached form a C₅-C₉ azabicyclic, azetidinyl or pyrrolidinyl ring wherein said C₅-C₉ azabicyclic, azetidinyl or pyrrolidinyl ring is optionally substituted by 1 to 5 R⁵ substituents.

10 36. The compound of claim 35, wherein R¹¹ is -C(O)NR¹²R¹³ wherein R¹² and R¹³ taken together with the nitrogen to which they are attached form a C₅-C₉ azabicyclic ring wherein said C₅-C₉ azabicyclic ring is optionally substituted by 1 to 5 R⁵ substituents.

15 37. The compound of claim 36, wherein R¹¹ is -C(O)NR¹²R¹³ wherein R¹² and R¹³ taken together with the nitrogen to which they are attached form an azetidinyl ring wherein said azetidinyl ring is optionally substituted by 1 to 5 R⁵ substituents.

20 38. The compound of claim 37, wherein R¹¹ is -C(O)NR¹²R¹³ wherein R¹² and R¹³ taken together with the nitrogen to which they are attached form a pyrrolidinyl ring wherein said pyrrolidinyl ring is optionally substituted by 1 to 5 R⁵ substituents.

25 39. A compound of claim 1, wherein X is CH; Y is N; R¹ is H; R² is



30 40. The compound of claim 39, wherein R¹¹ is -C(O)NR¹²R¹³, -SO₂R¹², -SO₂NR¹²R¹³, -C(=N-OR¹²)R¹³, and -C(=NR¹²)R¹³ wherein each R¹² and R¹³ is independently selected from H, C₁-C₆ alkyl, -(CH₂)_tOR⁹, wherein t is an integer from 0 to 6, and the alkyl moiety of the foregoing R¹² and R¹³ groups is optionally substituted by 1 to 3 substituents independently selected from halo, cyano, trifluoromethyl, -C(O)R⁸, -NR⁹C(O)R¹⁰, -C(O)NR⁹R¹⁰, -NR⁹R¹⁰, C₁-C₆ alkyl, -(CH₂)_t(C₆-C₁₀ aryl), -(CH₂)_t(5 to 10 membered heterocyclic), -(CH₂)_tO(CH₂)_qOR⁹, and -(CH₂)_tOR⁹, wherein t is an integer from 0 to 6 and q is an integer from 2 to 6, or R¹² and R¹³ may be taken together with the nitrogen to which they are attached to form a C₅-C₉ azabicyclic, aziridinyl, azetidinyl, pyrrolidinyl, piperidinyl, piperazinyl, or morpholinyl ring wherein said C₅-C₉ azabicyclic, aziridinyl, azetidinyl, pyrrolidinyl, piperidinyl, piperazinyl, or morpholinyl ring are optionally substituted by 1 to 5 R⁵ substituents, with the proviso R¹² and R¹³ are not both bonded to the nitrogen directly through an oxygen.

35 41. The compound of claim 40, wherein R¹¹ is -C(O)NR¹²R¹³, wherein each R¹² and R¹³ is independently selected from H, C₁-C₆ alkyl, -(CH₂)_tOR⁹, wherein t is an integer from 0 to 6, and the alkyl moiety of the foregoing R¹² and R¹³ groups is optionally substituted by 1 to 3 substituents independently selected from halo, cyano, trifluoromethyl, -C(O)R⁸,

5 -NR⁹C(O)R¹⁰, -C(O)NR⁹R¹⁰, -NR⁹R¹⁰, C₁-C₆ alkyl, -(CH₂)_t(C₆-C₁₀ aryl), -(CH₂)_t(5 to 10 membered heterocyclic), -(CH₂)_tO(CH₂)_qOR⁹, and -(CH₂)_tOR⁹, wherein t is an integer from 0 to 6 and q is an integer from 2 to 6, or R¹² and R¹³ may be taken together with the nitrogen to which they are attached to form a C₅-C₉ azabicyclic, aziridinyl, azetidinyl, pyrrolidinyl, piperidinyl, piperazinyl, or morpholinyl ring wherein said C₅-C₉ azabicyclic, aziridinyl, azetidinyl, pyrrolidinyl, piperidinyl, piperazinyl, or morpholinyl ring are optionally substituted by 1 to 5 R⁵ substituents, with the proviso R¹² and R¹³ are not both bonded to the nitrogen directly through an oxygen.

42. The compound of claim 41, wherein R¹¹ is -C(O)NR¹²R¹³, wherein each R¹² and R¹³ is independently selected from H, C₁-C₆ alkyl, wherein t is an integer from 0 to 6, and the alkyl moiety of the foregoing R¹² and R¹³ groups is optionally substituted by 1 to 3 substituents independently selected from halo, cyano, trifluoromethyl, -C(O)R⁸, -NR⁹C(O)R¹⁰, -C(O)NR⁹R¹⁰, -NR⁹R¹⁰, C₁-C₆ alkyl, -(CH₂)_t(C₆-C₁₀ aryl), -(CH₂)_t(5 to 10 membered heterocyclic), -(CH₂)_tO(CH₂)_qOR⁹, and -(CH₂)_tOR⁹, wherein t is an integer from 0 to 6 and q is an integer from 2 to 6, or R¹² and R¹³ may be taken together with the nitrogen to which they are attached to form a C₅-C₉ azabicyclic, aziridinyl, azetidinyl, pyrrolidinyl, piperidinyl, piperazinyl, or morpholinyl ring wherein said C₅-C₉ azabicyclic, aziridinyl, azetidinyl, pyrrolidinyl, piperidinyl, piperazinyl, or morpholinyl ring are optionally substituted by 1 to 5 R⁵ substituents, with the proviso R¹² and R¹³ are not both bonded to the nitrogen directly through an oxygen.

25 43. The compound of claim 42, wherein R¹¹ is -C(O)NR¹²R¹³ wherein R¹² and R¹³ taken together with the nitrogen to which they are attached to form a C₅-C₉ azabicyclic, aziridinyl, azetidinyl, pyrrolidinyl, piperidinyl, piperazinyl, or morpholinyl ring wherein said C₅-C₉ azabicyclic, aziridinyl, azetidinyl, pyrrolidinyl, piperidinyl, piperazinyl, or morpholinyl ring are optionally substituted by 1 to 5 R⁵ substituents.

30 44. The compound of claim 43, wherein R¹¹ is -C(O)NR¹²R¹³ wherein R¹² and R¹³ taken together with the nitrogen to which they are attached form a C₅-C₉ azabicyclic, aziridinyl, azetidinyl, or pyrrolidinyl ring wherein said C₅-C₉ azabicyclic, aziridinyl, azetidinyl, and pyrrolidinyl ring are optionally substituted by 1 to 5 R⁵ substituents.

35 45. The compound of claim 44, wherein R¹¹ is -C(O)NR¹²R¹³ wherein R¹² and R¹³ taken together with the nitrogen to which they are attached form a C₅-C₉ azabicyclic, azetidinyl or pyrrolidinyl ring wherein said C₅-C₉ azabicyclic, azetidinyl or pyrrolidinyl ring are optionally substituted by 1 to 5 R⁵ substituents.

40 46. The compound of claim 45, wherein R¹¹ is -C(O)NR¹²R¹³ wherein R¹² and R¹³ taken together with the nitrogen to which they are attached form a C₅-C₉ azabicyclic ring, wherein said C₅-C₉ azabicyclic ring is optionally substituted by 1 to 5 R⁵ substituents.

5 47. The compound of claim 46, wherein R¹¹ is -C(O)NR¹²R¹³ wherein R¹² and R¹³ taken together with the nitrogen to which they are attached form an azetidinyl ring, wherein said azetidinyl ring is optionally substituted by 1 to 5 R⁵ substituents.

10 48. The compound of claim 47, wherein R¹¹ is -C(O)NR¹²R¹³ wherein R¹² and R¹³ taken together with the nitrogen to which they are attached form a pyrrolidinyl ring, wherein said pyrrolidinyl ring is optionally substituted by 1 to 5 R⁵ substituents.

15 49. A pharmaceutical composition for the treatment of a hyperproliferative disorder in a mammal which comprises a therapeutically effective amount of a compound of claim 1 and a pharmaceutically acceptable carrier.

20 50. The pharmaceutical composition of claim 49, wherein said hyperproliferative disorder is cancer.

25 51. The pharmaceutical composition of claim 50, wherein said cancer is brain, lung, kidney, renal, ovarian, squamous cell, bladder, gastric, pancreatic, breast, head, neck, oesophageal, gynecological, prostate, colorectal or thyroid cancer.

30 52. The pharmaceutical composition of claim 49, wherein said hyperproliferative disorder is noncancerous.

35 53. The pharmaceutical composition of claim 52, wherein said disorder is a benign hyperplasia of the skin or prostate.

40 54. A pharmaceutical composition for the treatment of a hyperproliferative disorder in a mammal which comprises a therapeutically effective amount of a compound of claim 1 in combination with an anti-tumor agent selected from the group consisting of mitotic inhibitors, alkylating agents, anti-metabolites, intercalating antibiotics, enzymes, topoisomerase inhibitors, biological response modifiers, anti-hormones, and anti-androgens, and a pharmaceutically acceptable carrier.

45 55. A pharmaceutical composition for the treatment of pancreatitis or kidney disease in a mammal which comprises a therapeutically effective amount of a compound of claim 1 and a pharmaceutically acceptable carrier.

50 56. A pharmaceutical composition for the blastocyte implantation in a mammal which comprises a therapeutically effective amount of a compound of claim 1 and a pharmaceutically acceptable carrier.

55 57. A pharmaceutical composition for treating a disease related to vasculogenesis or angiogenesis in a mammal which comprises a therapeutically effective amount of a compound of claim 1 and a pharmaceutically acceptable carrier.

60 58. The pharmaceutical composition of claim 57, wherein said disease is selected from the group consisting of tumor angiogenesis, chronic inflammatory disease such as rheumatoid arthritis, atherosclerosis, skin diseases such as psoriasis, eczema, and scleroderma,

5 diabetes, diabetic retinopathy, retinopathy of prematurity, age-related macular degeneration, hemangioma, glioma, melanoma, Kaposi's sarcoma and ovarian, breast, lung, pancreatic, prostate, colon and epidermoid cancer.

59. A method of treating a hyperproliferative disorder in a mammal which comprises administering to said mammal a therapeutically effective amount of a compound of claim 1.

10 60. The method of claim 59 wherein said hyperproliferative disorder is cancer.

61. The method of claim 60 wherein said cancer is brain, lung, squamous cell, renal, kidney, ovarian, bladder, gastric, pancreatic, breast, head, neck, oesophageal, prostate, colorectal, gynecological or thyroid cancer.

62. The method of claim 60 wherein said hyperproliferative disorder is noncancerous.

63. The method of claim 62 wherein said disorder is a benign hyperplasia of the skin or prostate.

64. A method for the treatment of a hyperproliferative disorder in a mammal which comprises administering to said mammal a therapeutically effective amount of a compound of claim 1 in combination with an anti-tumor agent selected from the group consisting of mitotic inhibitors, alkylating agents, anti-metabolites, intercalating antibiotics, growth factor inhibitors, cell cycle inhibitors, enzymes, topoisomerase inhibitors, biological response modifiers, anti-hormones, and anti-androgens.

65. A method of treating pancreatitis or kidney disease in a mammal which comprises administering to said mammal a therapeutically effective amount of a compound of claim 1.

66. A method of preventing blastocyte implantation in a mammal which comprises administering to said mammal a therapeutically effective amount of a compound of claim 1.

67. A method for treating a disease related to vasculogenesis or angiogenesis in a mammal which comprises administering to said mammal a therapeutically effective amount of a compound of claim 1.

68. The method of claim 67, wherein said disease is selected from the group consisting of tumor angiogenesis, chronic inflammatory disease such as rheumatoid arthritis, atherosclerosis, skin diseases such as psoriasis, excema, and scleroderma, diabetes, diabetic retinopathy, retinopathy of prematurity, age-related macular degeneration, hemangioma, glioma, melanoma, Kaposi's sarcoma and ovarian, breast, lung, pancreatic, prostate, colon and epidermoid cancer.